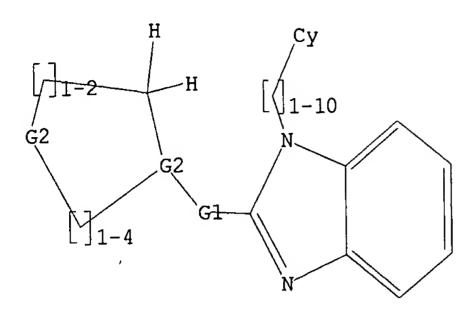
Page 3



G1 S,N,SO2,C,O,CH2,CH G2 C, N

Structure attributes must be viewed using STN Express query preparation.

=> s 11SAMPLE SEARCH INITIATED 13:17:17 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 7686 TO ITERATE

1000 ITERATIONS 13.0% PROCESSED INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

ONLINE **COMPLETE** FULL FILE PROJECTIONS: **COMPLETE** BATCH 148469 TO 158971 PROJECTED ITERATIONS: 2195 TO 3645 PROJECTED ANSWERS:

19 SEA SSS SAM L1 L2

=> s ll sss full FULL SEARCH INITIATED 13:17:34 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 153476 TO ITERATE

100.0% PROCESSED 153476 ITERATIONS SEARCH TIME: 00.00.08

3032 SEA SSS FUL L1 L3

=> file caplus COST IN U.S. DOLLARS

FULL ESTIMATED COST FILE 'CAPLUS' ENTERED AT 13:17:50 ON 15 APR 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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ENTRY

148.55

19 ANSWERS

3032 ANSWERS

TOTAL

SESSION

148.76

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FILE COVERS 1907 - 15 Apr 2003 VOL 138 ISS 16 FILE LAST UPDATED: 14 Apr 2003 (20030414/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 673 L3

=> d ibib abs hitstr tot

for the administration of pharmaceuticals or other active compds. to mucosal surfaces. The device may also be used by itself without the incorporation of a therapeutic. The device of the present invention consists of a water-sol. adhesive layer, a non-adhesive, bioerodible backing layer and one or more pharmaceuticals if desired in either or both layers. Upon application, the device adheres to the mucosal surface, providing protection to the treatment site and localized drug delivery. The "Residence Time", the length of time the device remains on the mucosal surface before complete erosion, can be easily regulated by modifications

of the backing layer. 68844-77-9, Astemizole RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (mucoadhesive erodible drug delivery device for controlled administration of pharmaceuticals and other active compds.)

68844-77-9 CAPLUS 1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1-[2-(4methoxyphenyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2003 ACS 2002:978344 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 138:44736 Taste masking coating composition comprising acrylic

TITLE: polymers, a cellulose ester, and an alkaline modifier Corbo, Michael: Desai, Jatin: Patell, Mahesh: Warrick, INVENTOR (5): Ronald

PATENT ASSIGNEE(S): U.S. Pat. Appl. Publ., 7 pp., Cont.-in-part of U.S. SOURCE: Ser. No. 557,924, abandoned. CODEN: USXXCO

Patent DOCUMENT TYPE: English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. KIND DATE PATENT NO. US 2002-67724 20020205 A1 20021226 US 2002197317 US 2000-557924 B2 20000420 PRIORITY APPLN. INFO .: There is provided a coating compn. that masks the undesirable taste of a pharmaceutically active ingredient, i.e. drug or medicine, that is taken orally. The coating compn. is comprised of dimethylaminoethyl

methacrylate and neutral methacrylic acid ester, a cellulose ester polymer, and an alk. modifier. 68844-77-9, Astemizole RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (taste masking coating compn. comprising acrylic polymers, cellulose

ester, and alk. modifier) 68844-77-9 CAPLUS 1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1-[2-(4methoxyphenyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

ANSWER 1 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

LS ANSWER 3 OF 15 CAPLUS COPYRIGHT 2003 ACS 2002:888718 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 137:384842

Benzimidazole compounds and antiviral uses

TITLE: thereof

Lackey, John William; Kinder, Daniel S.; Tvermoes, INVENTOR (S): Nicolai A.

Trimeris, Inc., USA PATENT ASSIGNEE(S): PCT Int. Appl., 143 pp. SOURCE: CODEN: PIXXD2 Patent

DOCUMENT TYPE: English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. DATE KIND DATE PATENT NO. WO 2002-US14598 20020510 A1 20021121 WO 2002092575 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG LN. INFO:: US 2001-290038P P 20010511 PRIORITY APPLN. INFO .:

MARPAT 137:384842 OTHER SOURCE (S):

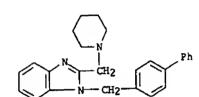
Title compds. I [R1, R2 = H, (un) substituted alkyl, cycloalkyl, heterocyclic, aryl, heteroaryl; R3 = H, halo, (un) substituted alkyl, Oh, alkowy, aryl, heterocyclic, heteroaryl; R4-R7 = H, halo, (un) substituted alkyl, OH, alkoxy, aryl, heterocyclic, heteroaryl; X = bond, (un) substituted alkylene, C:N, CO, P, S; Y = N, P, O, S; when Y = O, S, R2 is absent; n = 0-4] were prepd. for use as virucides that inhibit membrane fusion assocd. events such as viral transmission, reduce viral load or otherwise treat viral infections, particularly that caused by Respiratory Syncytial Virus. Thus, I [R1 = cyclohexyl, R2 = CHMe2, Y = N, X = CH2, R3

- 2-quinolinyl, R4-R7 = H] had IC50 of 5.16 .mu.g/mL. 475646-87-8P 475646-88-9P 475646-89-0P 475646-90-3P 475646-91-4P 475646-92-5P 475646-93-6P 475646-94-7P 475646-96-9P

475646-97-0P 475646-98-1P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

- LS ANSWER 3 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)
 (prepn. of benzimidazole derivs. as virucides for treating Respiratory
 Syncytial Virus infections)
- RN 475646-87-8 CAPLUS
 CN 1H-Benzimidazole, 1-[(2-methyl-4-thiazolyl)methyl]-2-(1-piperidinylmethyl)(9CI) (CA INDEX NAME)

RN 475646-88-9 CAPLUS
CN 1H-Benzimidazole, 1-([1,1'-biphenyl]-4-ylmethyl)-2-(1-piperidinylmethyl)(9CI) (CA INDEX NAME)



RN 475646-89-0 CAPLUS
CN 1H-Benzimidazole, 1-(2-methylbenzoyl)-2-(1-piperidinylmethyl)- (9CI) (CA INDEX NAME)

- RN 475646-90-3 CAPLUS
 CN 1H-Benzimidazole, 1-[(5-nitro-2-furanyl)methyl]-2-(1-piperidinylmethyl)(9CI) (CA INDEX NAME)
- L5 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 475646-94-7 CAPLUS
CN 1H-Benzimidazole, 2-(1-piperidinylmethyl)-1-(4-pyridinylmethyl)- (9CI)

RN 475646-96-9 CAPLUS
CN 1H-Benzimidazole, 1-[[4-(methylsulfonyl)phenyl]methyl]-2-(1-piperidinylmethyl)- (9CI) (CA INDEX NAME)

RN 475646-97-0 CAPLUS
CN 1H-Benzimidazole, 1-[[4-(methylthio)phenyl]methyl]-2-(1-piperidinylmethyl)(9CI) (CA INDEX NAME)

- RN 475646-98-1 CAPLUS
 CN 1H-Benzimidazole, 2-(1-piperidinylmethyl)-1-[[2-(trifluoromethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)
- Habte

L5 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 475646-91-4 CAPLUS
CN 1H-Benzimidazole, 1-[(2,4-dichlorophenyl)methyl]-2-(1-piperidinylmethyl)(9CI) (CA INDEX NAME)

RN 475646-92-5 CAPLUS
CN 1H-Benzimidazole, 2-(1-piperidinylmethyl)-1-(2-pyridinylmethyl)- (9CI)
(CA INDEX NAME)

- RN 475646-93-6 CAPLUS
 CN 1H-Benzimidazole, 2-(1-piperidinylmethyl)-1-(3-pyridinylmethyl)- (9CI)
 (CA INDEX NAME)
- L5 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

- IT 475648-96-5P
 RL: SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES (Uses)
 (prepn. of benzimidazole derivs. as virucides for treating Respiratory
- Syncytial Virus infections)

 RN 475648-96-5 CAPLUS

 CN 1H-Benzimidazole, 1-(1,2,4-oxadiazol-3-ylmethyl)-2-[[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2003 ACS 2002:778718 CAPLUS ACCESSION NUMBER:

137:289046 DOCUMENT NUMBER: Methods and compositions for enhancing pharmaceutical TITLE:

treatments Newman, Michael J.; Dixon, William Ross INVENTOR(S):

PATENT ASSIGNEE(S): U.S. Pat. Appl. Publ., 47 pp., Cont.-in-part of U.S. SOURCE: Ser. No. 684, 293.

CODEN: USXXCO Patent

DOCUMENT TYPE: English LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. DATE KIND DATE -----US 2002-104549 20020320 A1 20021010 US 2002147197 US 1999-158322P P 19991008 PRIORITY APPLN. INFO .: US 2000-684293 A2 20001006

MARPAT 137:289046 OTHER SOURCE(S): Improved methods are provided for therapeutic and/or preventative treatment to a mammal in which the mammal is protected against the toxicity of active pharmaceutical agents that (i) bind to or are substrates for P-gp, (ii) are taxane analogs, and/or (iii) are inhibitors of tubulin disassembly. Addnl. provided are compns. and methods useful for treating cell proliferative disorders. Further provided are methods of increasing the bioavailability of therapeutic and/or preventative treatments in a mammal. Particular embodiments are directed to increasing such bioavailability across the blood-brain barrier.

68844-77-9, Astemizole 68844-77-9D, Astemizole, derivs., analogs, and metabolites RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses) (methods and compns. for enhancing pharmaceutical treatments)

1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1-[2-(4methoxyphenyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

68844-77-9 CAPLUS 1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1-[2-(4methoxyphenyl)ethyl]-4-piperidinyl}- (9C1) (CA INDEX NAME)

L5 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2003 ACS 2002:594844 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 137:140518 Preparation of thiazolyl-, oxazolyl-, pyrrolyl-, and imidazolyl- acid amide derivatives as inhibitors of TITLE:

phosphodiesterase IV isozymes Marfat, Anthony: McKechney, Michael William INVENTOR (S): Pfizer Products Inc., USA PATENT ASSIGNEE(S):

PCT Int. Appl., 249 pp. SOURCE: CODEN: PIXXD2 Patent

DOCUMENT TYPE: English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. DATE KIND DATE -----WO 2001-IB2728 20011224 WO 2002060898 A1 20020808 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
123520 A1 20020905 US 2002-62145 20020131
LN. INFO::

US 2001-265486P P 20010131 US 2002123520 PRIORITY APPLN. INFO .: MARPAT 137:140518 OTHER SOURCE(S):

11

Habte

L5 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

(Continued) ANSWER 5 OF 15 CAPLUS COPYRIGHT 2003 ACS

Title compds. I (wherein p = 0-1; q = 0-1; provided that when q = 0, n = 02; m=0-3; n=1-2; W1 and W2 = independently O, SOO-2, or NR3; or W2 = (un) substituted methylene: Y = SOO-2, O, NOO-1, NR3, or (un) substituted methylene; ; RA and RB - independently H, F, CF3, alkyl, or (un) substituted cycloalkyl, Ph, or benzyl; or when m = 1, CRARB = (un) substituted spiro: RC and RD have the same meaning as RA and RB except that one of them must be H; R1 and R2 = H, F, C1, CN, NO2, (fluoro)alkyl, alkynyl, alkoxy, phenoxy, carbamoyl, etc.; R3 = H, alkyl, Ph, benzyl, alkoxy, phenoxy, etc.; R4, R5, and R6 = H, F, C1, and (un) substituted (cyclo) alkyl, alkenyl, alkynyl, Ph, benzyl, pyridyl, alkoxy, phenoxy, acyl, carboxy, CN, NO2, carbamoyl, ureido, (hetero) aryl, etc.; G1 and G2 = independently (un) satd. carbocyclyl or heterocyclyl; E = (un) substituted carboxy, carbamoyl, acyl, hydroxyalkyl, cyanoalkyl, acylamino, ureido, amino, heterocyclyl, etc.] were prepd. as inhibitors of PDE4 (no data). For example, 4-(3-cyanophenoxy) thiazole-5-carboxylic acid was treated with 2-(4-aminomethylphenyl) propan-2-ol in the presence of EDCl and HOBT in DMF to give the thiazolamide II. I are useful in the treatment of diseases regulated by the activation and degranulation of eosinophils, esp. asthma, chronic bronchitis, and chronic obstructive pulmonary disease (no data). In addn., I may be used in combination therapy with a wide variety of other therapeutic agents.

IT 68844-77-9, Astemizole RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combination therapy with PDE4 inhibitors; prepn. of thiazolyl-, oxazolyl-, pyrrolyl-, and imidazolyl- acid amide derivs. as inhibitors of PDE4 isoenzymes)

68844-77-9 CAPLUS 1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1-[2-(4methoxyphenyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT PRIORITY APPLN. INFO .:

OTHER SOURCE(S):

```
LS ANSWER 6 OF 15 CAPLUS COPYRIGHT 2003 ACS
                           2002:594842 CAPLUS
ACCESSION NUMBER:
                           Preparation of carbamoyl-substituted pyridinyl aryl
DOCUMENT NUMBER:
                           ether derivatives as inhibitors of phosphodiesterase
TITLE:
                           Chambers, Robert James; Magee, Thomas Victor; Marfat,
INVENTOR (S):
                           Anthony
                           Pfizer Products Inc., USA
PATENT ASSIGNEE (5):
                           PCT Int. Appl., 285 pp.
CODEN: PIXXD2
SOURCE:
                           Patent
 DOCUMENT TYPE:
                            English
 LANGUAGE:
 FAMILY ACC. NUM. COUNT:
 PATENT INFORMATION:
                                               APPLICATION NO. DATE
                        KIND DATE
      PATENT NO.
                                               WO 2001-IB2726 20011224
                        A1 20020808
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
      WO 2002060896
               CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
               GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
               LS, LT, LU, LV, MA, MD, MG, MX, MN, MW, MX, MZ, NO, NZ, OM, PH,
               PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
           RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
               CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
               BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
027845 A1 20030206 US 2002-66503 20020131
       U$ 2003027845
                                            US 2001-265304P P 20010131
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MARPAT 137:154859

ANSWER 6 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued) 68844-77-9, Astemizole RL: THU (Therapeutic use): BIOL (Biological study): USES (Uses) (combination therapy with PDE4 inhibitors; prepn. of carbamoyl-substituted pyridinyl aryl ether derivs. as inhibitors of PDE4 isoenzymes) 68844-77-9 CAPLUS 1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1-[2-(4methoxyphenyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

Habte

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L5 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

Title compds. compds. I [wherein p = 0-1, provided that when p = 0, n = 2; m=1-3; n=1-2; W1 and W2 = independently O, S(0)0-2, or NR3; Y = C(Rla) or N(0)0-1; Rla = H, F, Cl, CN, NO2, (fluoro)alkyl, alkynyl, fluoroalkoxy, OR16, or (un) substituted carbamoyl; RA and RB = independently H, F, CF3, or (un) substituted (cyclo) alkyl, Ph, or benzyl; or CRARB - spiro molety: RC and RD - the same as RA and RB except that one of them must be H; R1 and R2 = independently H, F, C1, CN, NO2, (fluoro) alkyl, alkynyl, OR16, or (un) substituted carbamoyl; R3 = H, alkyl, Ph, benzyl, or OR16; R4, R5 and R6 = independently H, F. Cl, alkynyl, R16, OR16, SO0-2R16, COR16, CO2R16, OCOR16, CN, NO2, (un) substituted carboximidoyl, aryl, heterocyclyl, etc.; or R5 and Carboxyl(oxy), ureido, carboximidoyl, aryl, heterocyclyl, etc.; R6 taken together with the atoms to which they are attached = (hetero) cyclyl; J1 and J2 = independently (un) substituted, (un) satd. monocyclic or fused polycyclic ring; D = (un) substituted carboxy, carbamoyl, acyl, hydroxy(alkyl), cyano(alkyl), etc.; R16 = H or (un) substituted (cyclo) alkyl, alkenyl, Ph, benzyl, or pyridyl] were prepd. as inhibitors of PDE4 (no data). For example, 2-(benzo[1,3]dioxol-5yloxy) nicotinic acid was coupled with (4-aminomethyl-3fluorophenoxy) acetic acid Me ester in the presence of 1-hydroxybenzotriazole.bul.H2O and 1-[3-(dimethylamino)propyl]-3ethylcarbodimide.bul.HCl in DMF/CH2Cl2 to give the pyridinecarboxamide II (R = Me) in 38% yield. Sapon. using aq. LiOH in THF and MeOH afforded the desired acid II (R = OH) in 21% yield. I are useful in the treatment of diseases regulated by the activation and degranulation of eosinophils, esp. asthma, chronic bronchitis, and chronic obstructive pulmonary disease (no data). In addn., I may be used in combination therapy with a wide variety of other therapeutic agents.

```
L5 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2003 ACS
                        2002:594822 CAPLUS
ACCESSION NUMBER:
                        Preparation of nicotinamide biaryl derivatives as
DOCUMENT NUMBER:
                         inhibitors of PDE4 isozymes
                        Chambers, Robert James; Magee, Thomas Victor; Marfat,
INVENTOR(5):
                         Anthony
                         Pfizer Productors Inc., USA
PATENT ASSIGNEE(S):
                         PCT Int. Appl., 224 pp.
SOURCE:
                         CODEN: PIXXD2
                         Patent
DOCUMENT TYPE:
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English LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT INTOICEME		
T IST DATE TO THE	KIND DATE	APPLICATION NO. DATE
W: AE, AG CO, CR GM, HR LS, LT PL, PT UG, US RW: GH, GM CY, DE	AL, AM, AT, AO, CU, CZ, DE, DK, HU, ID, IL, IN, LU, LV, MA, MD, RO, RU, SD, SE, UZ, VN, YU, ZA, KE, LS, MW, MZ, DK, ES, FI, FR, CF, CG, CI, CM, A1 20021219	03 2001 2051721

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; g = 0-1; j = 0-1; provided that when j = 0, n must be 2: k = 0-1: m = 0-2: n = 1-2: W1 = 0, SOt (t = 0-2), NR3: W2 = OCR9R10, or absent; Y = CR1, Nok (k = 0-1); R9, R10 = H, F, CF3, etc.; or R9 and R10 are taken together, but only in the case where m=1, to form a spiro moiety; R7, R8 have the same meaning as R9, R10 except that one of them must be H; R1, R2 = H, F, C1, etc.; R3 = H, alkyl, Ph, etc.; R4-R6 = H, F, Cl, etc.; Ql = Ph, benzodioxyl, etc.; Q2 = biaryl moiety], useful as inhibitors of PDE4 in the treatment of diseases regulated by the activation and degranulation of eosinophils, esp. asthma, chronic bronchitis, and chronic obstructive pulmonary disease, were prepd. E.g., a multi-step synthesis of the amide II, starting from Me 3-bromobenzoate and 4-formylbenzeneboronic acid, was given. Compds. I showed anti-inflammatory activity at 0.0001 .mu.M to 20.0 .mu.M in whole blood assay for LTE4.

68844-77-9, Astemizole RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (in combination with; prepn. of biaryl nicotinamides as inhibitors of PDE4 isoenzymes)

68844-77-9 CAPLUS 1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1-[2-(4methoxyphenyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 8 OF 15 CAPLUS COPYRIGHT 2003 AC5 (Continued)

1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1-[2-(4methoxyphenyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 8 OF 15 CAPLUS COPYRIGHT 2003 ACS 2002:591707 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 137:140509

Preparation of nicotinamides and mimetics as inhibitors of phosphodiesterase IV isozymes TITLE: Chambers, Robert J.; Magee, Thomas V.; Marfat, Anthony

INVENTOR(S): Pfizer Products Inc., USA PATENT ASSIGNEE (S): Eur. Pat. Appl., 180 pp. SOURCE:

CODEN: EPXXDW DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 3 PATENT INFORMATION:

APPLICATION NO. DATE KIND DATE PATENT NO. ---------EP 2002-250202 20020111 A1 20020807 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, EP 1229034 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR US 2002-62811

US 2002111495 A1 20020815 BR 2002-250 BR 2002000250 A 20021008 US 2001-265240P P 20010131 PRIORITY APPLN. INFO .: 19970404 US 1997-43403P P US 1998-105120P P 19981021

MARPAT 137:140509 OTHER SOURCE(S):

Y CO (NR3) p (CR?R?)
$$_{nB}^{2}R^{1}R^{2}$$
 (CR?R?) $_{mA}^{mA}$
 $_{0q}^{N}$
 $_{B}^{1}R^{4}R^{5}R^{6}$

Title compds. [I; p, q = 0, 1; m = 0-2; n = 1, 2; A = CO2R7, CONR9CO2R7, CONR7R9, OP(0) (OH) 2, SO3H, acylsulfonamido, etc.; W = 0, S, SO, SO2, NR3; Y = N, NO, CR11; R1, R2 = H, F, Cl, cyano, NO2, alkyl, alkynyl, fluoroalkyl, etc.; R3 = H, alkyl, Ph, PhCH2, etc.; R4-R6 = H, F, Cl, alkynyl, cyano, NO2, etc.; R7 = H, (substituted) alkyl, alkenyl, alkynyl; R9 = H, alkyl, cycloalkyl, Ph, PhCH2, pyridyl, etc.; R11 = H, F, Cl, cyano, NO2, alkyl, alkynyl, fluoroalkyl, fluoroalkoxy, etc.; Ra, Rb = H, F, CF3, alkyl, (substituted) cycloalkyl, Ph, PhCH2; B1, B2 = 3-7 membered (hetero)cyclyl, 7-12 membered poly(hetero)cyclyl; pairs of variables may form rings; with provisos]. Were prepd. (no data). Thus, Me form rings; with provisos], were prepd. (no data). Thus, Me 2-[4-[[[2-(benzo[1,3]dioxol-5-yloxy)pyridine-3carbonyl]amino]methyl]phenyl]-2-methylpropionate was suspended in Me3COH. Aq. NaOH was added to the suspension, and the reaction mixt. was refluxed 1 h to give 2-[4-[[[2-(benzo[1,3]dioxol-5-yloxy)pyridine-3-carbonyl]amino]methyl]phenyl]-2-methylpropionic acid.

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combination therapy; prepn. of nicotinamides and mimetics as inhibitors of phosphodiesterase IV isoenzymes)

L5 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2003 ACS 2001:838793 CAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER:

137:57492

Blood donors on medication: are deferral periods TITLE: Stichtenoth, Dirk O.; Deicher, Helmuth R. G.; Frolich,

AUTHOR (S): Institute of Clinical Pharmacology, Medizinische Jurgen C.

CORPORATE SOURCE: Hochschule Hannover, Hannover, 30623, Germany European Journal of Clinical Pharmacology (2001),

SOURCE: 57 (6-7), 433-440

CODEN: EJCPAS: ISSN: 0031-6970

Springer-Verlag PUBLISHER:

DOCUMENT TYPE: English

Drugs and their metabolites in transfused blood components may cause LANGUAGE: effects in the recipient. If the disorder being treated is not to be regarded as an exclusion criterion from blood donation, donors on medication should be deferred for a period consistent with the drug's pharmacokinetics. Peak plasma drug concns. of .ltoreq.3% of the therapeutic concn. were regarded to be safe for the recipient of a blood product. For teratogenic drugs a much lower safety level of <0.000001% has been proposed. For the calcn. of deferral periods, both the type of blood component to be prepd. and the drug's pharmacokinetics were considered. For drugs with known teratogenic risks, a deferral period of 28 plasma-elimination half-lives is suggested. For nonteratogenic drugs, a simple, conservative approach could be based on waiting for 5 plasma-elimination half-lives, thus reaching the required 3% safety level. If, however, the type of blood component to be prepd. is also considered, a more differentiated approach appears to be appropriate: for blood components contg. .ltoreq.50 mL plasma from a single donor, donor medication may be disregarded because of the high dilm. in the recipient's plasma vol., whereas for blood components with higher plasma contents (250 mL on av.) from a single donor on medication the 3% safety level will be achieved by observing the deferral period of 5 plasma-elimination half-lives. A guideline for 191 drugs and drug classes is presented.

IT 68844-77-9, Astemizole RL: ADV (Adverse effect, including toxicity); BUU (Biological use, unclassified); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL

(Biological study); USES (Uses) (waiting period before transfusion of blood products prepd. from blood of humans taking various drugs, including)

1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1-[2-(4-68844-77-9 CAPLUS methoxyphenyl)ethyl]-4-piperidinyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L5 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2003 ACS
                           2001:798029 CAPLUS
ACCESSION NUMBER:
                           135:348886
DOCUMENT NUMBER:
                           Taste masking coating compositions containing polymers
TITLE:
                           Corbo, Michael: Desai, Jatin: Patell, Mahesh: Warrick,
INVENTOR (S):
                           Ronald
                           Bristol-Myers Squibb Company, USA
PATENT ASSIGNEE(5):
                           PCT Int. Appl., 32 pp.
SOURCE:
                           CODEN: PIXXD2
                           Patent
DOCUMENT TYPE:
                           English
LANGUAGE:
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
                                               APPLICATION NO. DATE
                        KIND DATE
     PATENT NO.
                                               WO 2001-US12805 20010419
                         A2 20011101
     WO 2001080829
                         A3 20020321
      WO 2001080829
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
              HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
              LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
              SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,
          ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
          DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

1276469

A2 20030122

EP 2001-928678 20010419

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                             US 2000-553513 A 20000420
PRIORITY APPLN. INFO .:
                                            WO 2001-US12805 W 20010419
AB . There is provided a coating compn. that masks the undesirable taste of an
      active ingredient, i.e. drug or that is consumed orally. The coating
      compn. has polyvinyl acetate, and a dimethylaminoethyl methacrylate and
      neutral methacrylic acid ester. Optionally, an alk. modifier may be
      included in the coating compn. to enhance release of the active
      ingredient. Coating (A) made of Kollidon-SR 27.78, 27.8 Et cellulose 7,
      Eudragit E-100 28.0, Eastman 9-45 (Acetylated Monoglyceride) 11.44, and
      talc 5% was applied to a drug ingredient, such as granules of acetominophen (APAP) or caffeine powder. The coated drug ingredient was
      then compressed into tablets, that were then subjected to dissoln. testing
      in solns. having a pH of 7 and 1.2. Dissoln. was hindered by coating (A),
      in an environment where the pH was about 7, and dissoln. was quicker in an
      environment where the pH was about 1.2. The coating compn. of the
      inhibited the availability of the drug ingredient and thereby provided an
       effective means for masking the undesirable taste of a drug ingredient
       such as APAP or caffeine under neutral pH conditions.
      68844-77-9, Astemizole
       RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
          (taste masking coating compns. contg. polymers)
       68844-77-9 CAPLUS
       1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1-[2-(4-
       methoxyphenyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)
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L5 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2003 ACS
                         2001:798026 CAPLUS
ACCESSION NUMBER:
                         135:348884
DOCUMENT NUMBER:
                         Taste masking coating composition based on
TITLE:
                         methacrylate polymer and cellulose ester
                         Corbo, Michael: Desai, Jatin: Patell, Mahesh: Warrick,
INVENTOR(S):
                         Ronald
                         Bristol-Myers Squibb Company, USA
PATENT ASSIGNEE(5):
                         PCT Int. Appl., 30 pp.
SOURCE:
                         CODEN: PIXXD2
                         Patent
DOCUMENT TYPE:
                         English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                            APPLICATION NO. DATE
                      KIND DATE
     PATENT NO.
     WO 2001080826
                           20011101
                       A3
                           20020321
     WO 2001080826
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
             HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,
             ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
              BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                          EP 2001-930585 20010418
                       A2 20030122
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
     EP 1276470
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                         US 2000-557924 A 20000420
 PRIORITY APPLN. INFO.:
                                         WO 2001-US12709 W 20010418
     There is provided a coating compn. that masks the undesirable taste of a
      pharmaceutically active ingredient, i.e. drug or medicine, that is taken
      orally. The coating compn. has a dimethylaminoethyl methacrylate and
      neutral methacrylic acid ester, a cellulose ester polymer, and an alk.
      modifier, e.g, triethanolamine. For example, acetaminophen in the cryst.
      form having a mesh size about 40 to 80, was coated with a coating compn.
      of 60% cellulose acetate/28% Eudragit E 100/12% triethanolamine. The same
      coating compn. was applied as a coating for granular caffeine that was
      also about 40 to 80 mesh size. The coating thickness was varied and the
      coated crystals and granules were formed into tablets.
     68844-77-9, Astemizole
      RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
         (taste masking coatings for oral compns. based on blend of methacrylate
         polymer and cellulose esters)
      68844-77-9 CAPLUS
      1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1-[2-(4-
      methoxyphenyl)ethyl]-4-piperidinyl)- (9CI) (CA INDEX NAME)
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ANSWER 12 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued) ANSWER 12 OF 15 CAPLOS COFIRIENT 2003 ACS (CONTINUED)
heterocyclyl, aryl; R2 = H, formyl, alkylcarbonyl, pyrrolidinyl,
piperidinyl, homopiperidinyl, cycloalkyl, substituted alkyl; R4 = H,
alkyl, aralkyl], were prepd. Thus, 1-[ethoxy(2-pyridinyl)methyl]-N-[1(phenylmethyl)-4-piperidinyl]-1H-benzimidazol-2-amine was hydrogenated in MeOH over Pd/C to give 1-[ethoxy(2-pyridiny1)methyl]-N-(4-piperidiny1)-1Hbenzimidazol-2-amine. Tested I inhibited respiratory syncytial virus replication with ICSO = 0.00032-1.2589 .mu.M. 317384-42-2P 317384-43-3P 317384-46-6P 317384-47-7P 317384-48-8P 317384-49-9P 317384-50-2P 317384-51-3P 317384-52-4P

317384-55-7P 317384-56-8P 317384-57-9P 317384-58-0P 317384-59-1P 317384-60-4P 317384-61-5P 317384-62-6P 317384-63-7P 317384-65-9P 317384-66-0P 317384-67-1P 317384-68-2P 317384-69-3P 317384-70-6P 317384-71-7P 317384-72-8P 317384-73-9P 317384-74-0P 317384-75-1P 317384-76-2P 317384-77-3P 317384-78-4P 317384-79-5P 317384-80-8P 317384-81-9P 317384-82-0P 317384-83-1P 317384-84-2P 317385-29-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of benzimidazoles as respiratory syncytial virus replication

inhibitors) 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(2-317384-42-2 CAPLUS

methoxyethoxy) (6-methyl-2-pyridinyl) methyl] - (9CI) (CA INDEX NAME)

1H-Benzimidazol-2-amine, N-{1-(2-amino-3-methylbutyl)-4-piperidinyl}-6-317384-43-3 CAPLUS chloro-1-[(2-methoxyethoxy)(6-methyl-2-pyridinyl)methyl]-4-methyl-, trihydrochloride, trihydrate (9CI) (CA INDEX NAME)

L5 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2003 ACS 2001:12445 CAPLUS ACCESSION NUMBER:

134:86249 DOCUMENT NUMBER:

Preparation of benzimidazoles as respiratory syncytial TITLE: virus replication inhibitors. Janssens, Frans Eduard: Meersman, Kathleen Petrus

Marie-Jose; Sommen, Francois Maria; Andries, Koenraad INVENTOR(S):

Jozef Lodewijk Marcel Janssen Pharmaceutica N.V., Belg. PATENT ASSIGNEE(S):

PCT Int. Appl., 73 pp. SOURCE: CODEN: PIXXD2

Patent DOCUMENT TYPE: English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION: APPLICATION NO. DATE KIND DATE PATENT NO. WO 2000-EP5675 20000620 A2 20010104 WO 2001000612 A3 20010329 WO 2001000612 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

2000012047 A 20020312 BR 2000-12047 20000620 BR 2000012047 EP 2000-943840 20000620 A2 20020417 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, EP 1196409 IE, SI, LT, LV, FI, RO JP 2001-507021 20000620 T2 20030128 JP 2003503402 20011227 NO 2001-6369 20020220 NO 2001006369 A EP 1999-202088 A 19990628 PRIORITY APPLN. INFO .: WO 2000-EP5675 W 20000620

MARPAT 134:86249 OTHER SOURCE(S):

Title compds. I: [al:a2a3:a4 = (substituted) CH:CHCH:CH, N:CHCH:CH, CH:NCH:CH, CH:CHN:CH, CH:CHCH:N; Q = R2R4NAX1, R2R4NCOAX1, specified (substituted) (hetero)cyclyl; A = (substituted) alkanediyl; X1 = imino, S, SO, SO2, O, CH2, CO, CH(OH), etc.; R1 = (substituted) monocyclic

L5 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

●3 HCl

1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(6-bromo-2-pyridinyl)ethoxymethyl]- (9CI) (CA, INDEX NAME)

1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-317384-47-7 CAPLUS ethoxyethoxy) (6-methyl-2-pyridinyl) methyl] - (9CI) (CA INDEX NAME)

317384-48-8 CAPLUS 4/11/2003

- L5 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(2-methoxyethoxy) (6-methyl-2-pyridinyl) methyl]-4-methyl- (9CI) (CA INDEX
- Me NH O-CH2-CH2-OMe
- RN 317384-49-9 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(2-ethoxyethoxy) (6-phenyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)
- CH2-CH-Pr-i

 NH-O-CH2-CH2-OEt

 N Ph
- RN 317384-50-2 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-methoxyethoxy) (6-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

●3 HCl

- RN 317384-56-8 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[(6-bromo-2-pyridinyl)ethoxymethyl]-N-4-piperidinyl- (9CI) (CA INDEX NAME)
- H N NH NH OEt N
- RN 317384-57-9 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-(ethoxy-2-pyridinylmethyl)-N-4-piperidinyl(9CI) (CA INDEX NAME)
- NH NH OEt N
- RN 317384-58-0 CAPLUS
 CN 1H-Benzimidazole-1-ethanol, .alpha.-(4-chlorophenyl)-2-(4-piperidinylamino)- (9CI) (CA INDEX NAME)

Habte

L5 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 317384-51-3 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[2-amino-3-methylbutyl]-4-piperidinyl]-1-[[6-bromo-2-pyridinyl]ethoxymethyl]-4-methyl-, monohydrate (9CI) (CA INDEX

H2O

- RN 317384-52-4 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-(2-methoxy-2-phenylethyl)-N-4-piperidinyl(9CI) (CA INDEX NAME)
- N NH Ph
- RN 317384-55-7 CAPLUS
 CN 1H-Benzimidazol-2-amine, 1-[ethoxy[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-N-4-piperidinyl-, trihydrochloride (9CI) (CA INDEX
- L5 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 317384-59-1 CAPLUS
CN Carbamic acid, [2-[4-[[1-[(6-bromo-2-pyridinyl)ethoxymethyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 317384-60-4 CAPLUS

Carbamic acid, [1-[[4-[[1-[(6-bromo-2-pyridinyl)ethoxymethyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]methyl]-2-methylpropyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 317384-61-5 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[ethoxy[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-, trihydrochloride (9CI) (CA INDEX NAME)

●3 HCl

RN 317384-62-6 CAPLUS
CN Ethanol, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-lH-benzimidazol-1-yl](6-methyl-2-pyridinyl)methoxy]- (9CI) (CA INDEX NAME)

RN 317384-63-7 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(2-ethoxyethoxy) (6-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

LS ANSWER 12 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 317384-68-2 CAPLUS

CN 1H-Benzimidazole-1-ethanol, .alpha.-(2-fluorophenyl)-2-(4-piperidinylamino)- (9CI) (CA INDEX NAME)

RN 317384-69-3 CAPLUS CN 1H-Benzimidazole-1-ethanol, .alpha.-(4-methylphenyl)-2-(4-piperidinylamino)- (9CI) (CA INDEX NAME)

RN 317384-70-6 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[(2R)-2-amino-3-methylbutyl]-4-piperidinyl]1-[(R)-ethoxy(6-methyl-2-pyridinyl)methyl]-, rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.

RN 317384-71-7 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[1-[(2R)-2-amino-3-methylbutyl]-4-piperidinyl]
1-[(R)-ethoxy(6-methyl-2-pyridinyl)methyl]-, rel-(+)- (9CI) (CA INDEX

Rotation (+). Absolute stereochemistry unknown.

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L5 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 317384-65-9 CAPLUS
CN 1H-Benzimidazole-1-ethanol, .alpha.-(3-methylphenyl)-2-(4-piperidinylamino)- (9CI) (CA INDEX NAME)

RN 317384-66-0 CAPLUS
CN 1H-Benzimidazole-1-ethanol, .alpha.-(3-methoxyphenyl)-2-(4-piperidinylamino)- (9CI) (CA INDEX NAME)

RN 317384-67-1 CAPLUS CN 1H-Benzimidazole-1-ethanol, .alpha.-(3-fluorophenyl)-2-(4-piperidinylamino)- (9CI) (CA INDEX NAME)

L5 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 317384-72-8 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[(2R)-2-amino-3-methylbutyl]-4-piperidinyl]1-[(5)-ethoxy(6-methyl-2-pyridinyl)methyl]-, rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

RN 317384-73-9 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[1-[(2R)-2-amino-3-methylbutyl]-4-piperidinyl]
1-[(R)-(6-bromo-2-pyridinyl)ethoxymethyl]-, rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

RN 317384-74-0 CAPLUS

1H-Benzimidazol-2-amine, N-[1-[(2R)-2-amino-3-methylbutyl]-4-piperidinyl]
1-[(5)-(6-bromo-2-pyridinyl) ethoxymethyl]-, rel-(+)- (9CI) (CA INDEX

Rotation (+). Absolute stereochemistry unknown.

RN 317384-75-1 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[(2R)-2-amino-3-methylbutyl]-4-piperidinyl]1-[(R)-(6-bromo-2-pyridinyl)ethoxymethyl]-, rel-(-)- (9CI) (CA INDEX

Rotation (-). Absolute stereochemistry unknown.

L5 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 317384-78-4 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[(2R)-2-aminopropyl]-4-piperidinyl]-1-[(R)-ethoxy(6-methyl-2-pyridinyl)methyl]-, rel-(+)- (9C1) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

RN 317384-79-5 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[(2R)-2-aminopropyl]-4-piperidinyl]-1-[(S)-ethoxy (6-methyl-2-pyridinyl) methyl]-, rel-(+)- (9CI) (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.

L5 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 317384-76-2 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[1-[(2R)-2-amino-3-methylbutyl]-4-piperidinyl]
1-[(S)-(6-bromo-2-pyridinyl)ethoxymethyl]-, rel-(-)- (9CI) (CA INDEX

Rotation (-). Absolute stereochemistry unknown.

RN 317384-77-3 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[1-[(2R)-2-amino-3-methylbutyl]-4-piperidinyl]
1-[(5)-ethoxy(6-methyl-2-pyridinyl)methyl]-, rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.

L5 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 317384-80-8 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[(2R)-2-aminopropyl]-4-piperidinyl]-1-[(R)-ethoxy(6-methyl-2-pyridinyl)methyl]-, rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.

RN 317384-81-9 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[(2R)-2-aminopropyl]-4-piperidinyl]-1-[(S)-ethoxy(6-methyl-2-pyridinyl)methyl]-, rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.

RN 317384-82-0 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(6-bromo-2-pyridinyl)ethoxymethyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 317384-83-1 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-6chloro-1-[(2-methoxyethoxy)(6-methyl-2-pyridinyl)methyl]-4-methyl-,
trihydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 317385-30-1 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[1-[(2R)-2-amino-3-methylbutyl]-4-piperidinyl]1-[(5)-ethoxy(6-methyl-2-pyridinyl)methyl]-, monohydrate, rel- (9CI) (CA

Relative stereochemistry.

IT 317385-03-8 317385-04-9 317385-05-0
317385-06-1 317385-10-7 317385-12-9
317385-13-0 317385-14-1 317385-31-2
RL: RCT (Reactant): RACT (Reactant or reagent)
(prepn. of benzimidazoles as respiratory sy

RL: RCT (Reactant): RACT (Reactant or reagent) (prepn. of benzimidazoles as respiratory syncytial virus replication inhibitors)

RN 317385-03-8 CAPLUS

1-Piperidinecarboxylic acid, 4-[[1-[2-hydroxy-2-(6-methyl-2-pyridinyl)ethyl]-1H-benzimidazol-2-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

●3 HCl

RN 317384-84-2 CAPLUS
CN 1H-Benzimidazole-1-methanol, 2-[{1-(2-aminoethyl)-4-piperidinyl}amino}.alpha.-(6-methyl-2-pyridinyl)-, tetrahydrochloride (9CI) (CA INDEX NAME)

●4 HCl

RN 317385-29-8 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[1-[(2R)-2-amino-3-methylbutyl]-4-piperidinyl]1-[(R)-ethoxy(6-methyl-2-pyridinyl)methyl]-, monohydrate, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L5 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 317385-04-9 CAPLUS
CN Ethanone, 1-(4-methylphenyl)-2-[2-(4-piperidinylamino)-lH-benzimidazol-1-yl]- (9CI) (CA INDEX NAME)

RN. 317385-05-0 CAPLUS
CN Ethanone, 1-(3-methoxyphenyl)-2-[2-(4-piperidinylamino)-1H-benzimidazol-1yl]-, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 317385-06-1 CAPLUS CN 1H-Benzimidazol-2-amine, 1-[ethoxy(6-methyl-2-pyridinyl)methyl]-N-4-piperidinyl- (9CI) (CA INDEX NAME)

RN 317385-10-7 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-(ethoxy-2-pyridinylmethyl)-N-[1-(phenylmethyl)4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 317385-12-9 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(6-methyl-2-pyridinyl)(2-(phenylmethoxy)ethoxy]methyl)- (9CI) (CA INDEX NAME)

RN 317385-13-0 CAPLUS
CN 2-Butanone, 1-[4-[[1-[(2-ethoxyethoxy)(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]-l-piperidinyl]-3-methyl- (9CI) (CA INDEX NAME)

L5 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

IT 317384-85-3P 317384-86-4P 317384-87-5P 317384-88-6P 317384-93-3P 317384-94-4P

317384-98-8P 317385-00-5P 317385-01-6P
RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent)
(prepn. of benzimidazoles as respiratory syncytial virus replication

RN 317384-85-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-[2-(4-chlorophenyl)-2-oxoethyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

inhibitors)

RN 317384-86-4 CAPLUS
CN Ethanone, 1-(4-chlorophenyl)-2-(2-(4-piperidinylamino)-1H-benzimidazol-1-yl]- (9CI) (CA INDEX NAME)

RN 317384-87-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(1-(2-hydroxy-2-phenylethyl)-1H-benzimidazol-2-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 317384-88-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-(2-methoxy-2-phenylethyl)-1H-benzimidazol-2-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 317385-14-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-(2-oxo-2-phenylethyl)-1H-benzimidazol-2-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 317384-93-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-{[1-{ethoxy[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-1H-benzimidazol-2-yl}amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 317384-94-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-[(6-bromo-2-pyridinyl)ethoxymethyl]-lH-benzimidazol-2-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 317384-98-8 CAPLUS 4/11/2003

Habte

ANSWER 12 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued) 2-Propanone, 1-[4-[[1-[ethoxy(6-methyl-2-pyridinyl)methyl]-lH-benzimidazol-2-yl]amino]-l-piperidinyl]- (9CI) (CA INDEX NAME)

317385-00-5 CAPLUS 1-Piperidinecarboxylic acid, 4-[[1-[[6-(dimethylamino)-2pyridinyl]ethoxymethyl]-lH-benzimidazol-2-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

317385-01-6 CAPLUS 1-Piperidinecarboxylic acid, 4-[[1-[[6-(aminocarbonyl)-2pyridinyl]ethoxymethyl]-lH-benzimidazol-2-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS 2001:12444 CAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER:

TITLE:

134:86248 Preparation of benzimidazoles as respiratory syncytial

virus replication inhibitors.

Janssens, Frans Eduard; Meersman, Kathleen Petrus Marie-Jose; Sommen, François Maria; Guillemont, Jerome INVENTOR (5):

Emile Georges: Lacrampe, Jean Fernand Armand: Andries,

Koenraad Jozef Lodewijk Marcel Janssen Pharmaceutica N.V., Belg.

PATENT ASSIGNEE(S): PCT Int. Appl., 119 pp.

SOURCE: CODEN: PIXXD2

Patent DOCUMENT TYPE: English

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. DATE KIND DATE PATENT NO. WO 2000-EP5676 20000620 A1 20010104 WO 2001000611 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MX, MN, MW, MX, M2, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG BR 2000-12054 20000620 20020319 BR 2000012054 EP 2000-943841 20000620 A1 20020417 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, EP 1196408 IE, SI, LT, LV, FI, RO JP 2001-507020 20000620 T2 20030128 JP 2003503401 20000620 EE 2001-692 20030217 EE 200100692 Α 20011227 NO 2001-6368 NO 2001006368 20020228 A EP 1999-202087 A 19990628 PRIORITY APPLN. INFO.: EP 2000-200452 20000211 WO 2000-EP5676 ¥ 20000620

MARPAT 134:86248 OTHER SOURCE(S):

Use of title compds. [I; al:a2a3:a4 = (substituted) CH:CHCH:CH, N:CHCH:CH, CH:N:CH:CH, CH:CHN:CH, CH:CHCH:N: Q = R2R4NAX1, R2R4NCOAX1, specified (heterocyclic) ring, etc.; A = alkylene; R2 = H, CHO, alkylcarbonyl, pyrrolidinyl, piperidinyl, homopiperidinyl, aminocycloalkyl, etc.; R4 = H, alkyl, aralkyl; G = bond, alkanediyl; R1 = (substituted) piperidinyl, piperazinyl, pyridyl, pyrazinyl, pyridazinyl, pyrrolyl, furyl, thienyl, oxazolyl, thiazolyl, imidazolyl, pyrazolyl, etc.] for treatment of viral infection is claimed. Thus, 1,1-dimethylethyl 4-[[1-[[3,5-dihydro-3,3-

Habte

ANSWER 12 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued) dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-c]pyridin-2-yl]methyl]-1Hbenzimidazol-2-yl]amino]-1-piperidinecarboxylate was refluxed 6 h in 10N HCl to give 4-[[1-[[3,5-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3dioxepino[5,6-c]pyridin-2-yl]methyl]-lH-benzimidazol-2yl]amino]piperidine. Tested I inhibited respiratory syncytial virus replication with IC50 = 0.00013-2.5119 .mu.M.

317846-43-8P RL: BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent): USES (Uses) (prepn. of benzimidazoles as respiratory syncytial virus replication

inhibitors) 317846-43-8 CAPLUS 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(2-pyridinylmethyl)-,

●2 HCl

IT 317846-01-8P 317846-03-0P 317846-04-1P 317846-05-2P 317846-06-3P 317846-07-4P 317846-09-5P 317846-09-6P 317846-10-9P 317846-11-0P 317846-12-1P 317846-13-2P 317846-14-3P 317846-15-4P 317846-16-5P 317846-17-6P 317846-18-7P 317846-19-8P 317846-20-1P 317846-21-2P 317846-23-4P 317846-24-5P 317846-25-6P 317846-26-7P 317846-27-8P 317846-28-9P 317846-29-0P 317846-30-3P 317846-31-4P 317846-32-5P 317846-33-6P 317846-34-7P 317846-35-8P 317846-36-9P 317846-38-1P 317846-39-2P 317846-41-6P 317846-42-7P 317846-44-9P 317846-45-0P 317846-46-1P 317846-47-2P 317846-48-3P 317846-49-4P 317846-50-7P 317846-51-8P 317846-52-9P 317846-53-0P 317846-54-1P 317846-55-2P 317846-56-3P 317846-57-4P 317846-58-5P 317846-59-6P 317846-60-9P 317846-61-0P 317846-62-1P 317846-63-2P 317846-64-3P 317846-65-4P 317846-66-5P 317846-67-6P 317846-68-7P 317846-69-8P 317846-70-1P 317846-71-2P 317846-72-3P 317846-73-4P 317846-74-5P 317846-75-6P 317846-76-7P 317846-77-8P 317846-78-9P 317846-79-0P 317846-80-3P 317846-81-4P 317846-82-5P 317846-83-6P 317846-84-7P 317846-85-8P 317846-87-0P 317846-89-2P 317846-91-6P 317846-92-7P

dihydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued) 317846-93-8P 317846-94-9P 317846-96-1P

317846-93-8P 317846-94-9P 317846-96-1P 317846-97-2P 317846-98-3P 317846-99-4P 317847-00-0P 317847-01-1P 317847-02-2P

317847-03-3P 317847-04-4P 317847-05-5P 317847-06-6P 317847-07-7P 317847-08-8P 317847-11-3P 317847-12-4P 317847-13-5P 317847-13-5P 317847-13-6-8P

317847-14-69 317847-15-79 317847-16-89 317847-17-99 317847-18-09 317847-19-19 317847-20-49 317847-21-59 317847-22-69 317847-23-79 317847-26-09 317847-27-19

317847-23-7P 317847-20-0P 317847-21-2P 317847-28-2P 317847-29-3P 317847-31-7P 317847-32-8P 317847-33-9P 317847-35-1P 317847-36-2P 317847-85-1P 317847-94-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzimidazoles as respiratory syncytial virus replication inhibitors)

317846-01-8 CAPLUS
1H-Benzimidazol-2-amine, 1-[(6-methylpyrazinyl)methyl]-N-4-piperidinyl(9CI) (CA INDEX NAME)

RN 317846-03-0 CAPLUS
CN Ethanol, 2-([6-methyl-2-[[2-(4-piperidinylamino)-1H-benzimidazol-1-yl]methyl]-3-pyridinyl]oxy]-, trihydrochloride (9CI) (CA INDEX NAME)

●3 HC1

RN 317846-04-1 CAPLUS

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 1H-Benzimidazole, 2-[(hexahydro-1H-azepin-4-y1)methy1]-1-(2-pyridinylmethy1)- (9CI) (CA INDEX NAME)

RN 317846-08-5 CAPLUS

CN 2-Pyridinecarboxylic acid, 6-[[2-(4-piperidinylamino)-1H-benzimidazol-1-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 317846-09-6 CAPLUS
CN Carbamic acid, [2-[4-[[1-[(6-methylpyrazinyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX

RN 317846-10-9 CAPLUS
CN Carbamic acid, [2-[4-[[1-[[2-(1,1-dimethylethyl)-1,6-dihydro-6-oxo-4-pyrimidinyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Habte

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)
CN 3,4-Pyridinedimethanol, 5-hydroxy-6-[[2-(4-piperidinylamino)-1H-benzimidazol-1-yl]methyl]-, trihydrochloride (9CI) (CA INDEX NAME)

●3 HC1

RN 317846-05-2 CAPLUS
CN 1H-Benzimidazol-2-amine, N-(hexahydro-1H-azepin-4-yl)-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 317846-06-3 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 1-[(6-methyl-2-pyridinyl)methyl]-2-(4-piperidinylamino)-, ethyl ester (9CI) (CA INDEX NAME)

RN 317846-07-4 CAPLUS

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 317846-11-0 CAPLUS
CN Carbamic acid, [2-[4-[[1-[[3-(2-chloroethoxy)-6-methyl-2-pyridinyl]methyl]1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester
(9CI) (CA INDEX NAME)

RN 317846-12-1 CAPLUS
CN Carbamic acid, [2-[4-[[1-[[3-[2-(dimethylamino)ethoxy]-6-methyl-2pyridinyl]methyl}-lH-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 317846-13-2 CAPLUS Carbamic acid, [2-[4-[[1-[(6-chloro-3-pyridazinyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA 4/11/2003

317846-14-3 CAPLUS Carbamic acid, [2-[4-[[1-(3-pyridazinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

317846-15-4 CAPLUS Acetamide, N-[2-[[cis-4-[[1-(2-pyridinylmethyl)-lH-benzimidazol-2yl]amino]cyclohexyl]amino]ethyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 317846-16-5 CAPLUS

ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

317846-19-8 CAPLUS Formamide, N-[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1piperidinyl] ethyl] - (9CI) (CA INDEX NAME)

Carbamic acid, [1-(hydroxymethyl)-2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME) RN 317846-20-1 CAPLUS

317846-21-2 CAPLUS 3-Pyridinol, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-, tetrahydrochloride (9CI) (CA INDEX

●4 HCl

RN 317846-23-4 CAPLUS Butanedioic acid, compd. with 2-[[2-[[1-(2-aminoethyl)-4-

Habte

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued) Acetamide, N-[2-[[trans-4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2yl]amino]cyclohexyl]amino]ethyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

317846-17-6 CAPLUS Carbamic acid, [1,1-dimethyl-2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

317846-18-7 CAPLUS Carbamic acid, [2-[4-[[6-amino-1-[(6-methyl-2-pyridinyl)methyl]-1Hbenzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} 0 \\ \parallel \\ \text{CH}_2\text{--}\text{CH}_2\text{--}\text{NH}\text{--}\text{C}\text{--}\text{OBu-t} \\ \\ \text{N} \\ \text{N} \\ \text{Me} \end{array}$$

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued) piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 317846-22-3 CMF C22 H30 N6 O

CP1 2

CRN 110-15-6 CMF C4 H6 O4

HO2C-CH2-CH2-CO2H

317846-24-5 CAPLUS

Butanedioic acid, hydroxy-, compd. with 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-3pyridinol (1:1) (9CI) (CA INDEX NAME)

CM 1

H2N-CH2-CH2

CRN 317846-22-3 CMF C22 H30 N6 O

- L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued) CRN 6915-15-7 CMF C4 H6 O5
- он | | . | но₂с-сн-сн₂-со₂н
- RN 317846-25-6 CAPLUS
 CN Formamide, N-[2-[4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)
- Me CH2-CH2-NH-CHO
- RN 317846-26-7 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-{1-(2-aminoethyl)-4-piperidinyl]-1-[(1,5-dimethyl-1H-pyrrol-2-yl)methyl]-4-methyl- (9CI) (CA INDEX NAME)
- Me CH2-CH2-NH2
 N-CH2
 N-Me
 Me
- RN 317846-27-8 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-{1-(2-aminoethyl)-4-piperidinyl]-4-methyl-1-[3(2-pyridinyl)propyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)
- L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)
- H₂N-CH₂-CH₂

 N
 NH
 NH
 CH₂
 CF
- RN 317846-31-4 CAPLUS
 CN 3-Pyridinol, 2-[[2-[[1-(2-amino-3-methylbutyl)-4-piperidinyl]amino]-lH-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)
- NH2
 CH2-CH-Pr-i
- RN 317846-32-5 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(2-chloro-1,4-dimethyl-1H-imidazol-5-yl)methyl]- (9CI) (CA INDEX NAME)
- NH2
 CH2-CH-Pr-i
- RN 317846-33-6 CAPLUS
 CN Ethanol, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-1H-benzimidazol1-yl]methyl]-6-methyl-3-pyridinyl]oxy]-, trihydrochloride (9CI) (CA INDEX
- Habte

●4 HCl

- RN 317846-28-9 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-[1-(aminomethyl)propyl]-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)
- CH2-NH2
 CH-Et
- RN 317846-29-0 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)
- NH2
 CH2-CH-Pr-i
- LS ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

●3 HCl

RN 317846-34-7 CAPLUS
CN 3,4-Pyridinedimethanol, 6-{[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]-5-hydroxy-, monohydrochloride (9CI) (CA INDEX

$$\begin{array}{c|c} H_2N-CH_2-CH_2 \\ \hline N \\ N \\ \hline N \\ CH_2-OH \\ \hline CH_2-OH \\ \end{array}$$

• HCl

RN 317846-35-8 CAPLUS
CN 1,4-Piperidinediamine, N4-(2-aminoethyl)-N1-[1-{(6-methyl-2-pyridinyl)methyl}-1H-benzimidazol-2-yl}- (9CI) (CA INDEX NAME)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS

317846-36-9 CAPLUS Ethanol, 2-[[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1piperidiny1]ethy1]amino]- (9CI) (CA INDEX NAME)

1H-Benzimidazol-2-amine, N-[1-(2-amino-1-methylethyl)-4-piperidinyl}-1-[1-317846-38-1 CAPLUS CN (2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

317846-39-2 CAPLUS 1H-Benzimidazol-2-amine, N-[1-(4-aminobutyl)-4-piperidinyl]-1-(2pyridinylmethyl) - (9CI) (CA INDEX NAME)

317846-41-6 CAPLUS 1-Piperidineethanol, .alpha.-(aminomethyl)-4-[[4-methyl-1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

317846-46-1 CAPLUS 1-Piperidinepropanol, .beta.-amino-4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-, tetrahydrochloride (9CI) (CA INDEX NAME)

●4 HCl

317846-47-2 CAPLUS 1H-Benzimidazol-2-amine, N-[1-[(1R,2R)-2-amino-1,2-diphenylethyl]-4piperidinyl]-1-(2-pyridinylmethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

317846-48-3 CAPLUS 1H-Benzimidazol-2-amine, N-[1-[(1R,2\$)-2-amino-1,2-diphenylethyl]-4piperidinyl]-1-(2-pyridinylmethyl)-, rel- (9CI) (CA INDEX NAME)

Habte

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

317846-42-7 CAPLUS 1-Piperidineethanaminium, N.N.N-trimethyl-4-[[1-(2-pyridinylmethyl)-1Hbenzimidazol-2-yl]amino]-, iodide (9CI) (CA INDEX NAME)

317846-44-9 CAPLUS 1H-Benzimidazol-2-amine, N-[1-[(1-aminocyclopropyl)methyl]-4-piperidinyl]-1-(2-pyridinylmethyl)-, tetrahydrochloride (9CI) (CA INDEX NAME)

●4 HCl

317846-45-0 CAPLUS 1H-Benzimidazol-2-amine, N-[1-(2-amino-2-methylpropyl)-4-piperidinyl]-1-(2-pyridinylmethyl)-, trihydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued) Relative stereochemistry.

RN 317846-49-4 CAPLUS 1H-Benzimidazol-2-amine, N-[1-(2-aminocyclohexyl)-4-piperidinyl]-1-(2pyridinylmethyl) - (9CI) (CA INDEX NAME)

317846-50-7 CAPLUS 1H-Benzimidazol-2-amine, N-[1-[1-(aminomethyl)-2-phenylethyl]-4piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

1H-Benzimidazol-2-amine, N-[1-[1-(aminomethyl)-2,2-dimethylpropyl]-4-317846-51-8 CAPLUS piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 317846-52-9 CAPLUS
CN 1,3-Propanediamine, N,N-dimethyl-2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 317846-53-0 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-2-phenylethyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 317846-54-1 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[1-(aminomethyl)-2-(2-pyridinyl)ethyl]-4piperidinyl]-1-(2-pyridinylmethyl)-, tetrahydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

AN 317846-58-5 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-2-cyclohexylethyl)-4-piperidinyl]-1(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NH}_2 \\ & \text{N} \\ & \text{N} \\ & \text{CH}_2 \\ & \text{N} \end{array}$$

RN 317846-59-6 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-1-methylpropyl)-4-piperidinyl]-1-(2-pyridinylmethyl)-, tetrahydrochloride (9CI) (CA INDEX NAME)

●4 HCl

RN 317846-60-9 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-4-methylpentyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

●4 HCl

RN 317846-55-2 CAPLUS
CN 1H-Benzimidazol-2-amine, N-{1-(2-amino-2-cyclopropylethyl)-4-piperidinyl]1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NH}_2 \\ & \text{N} \\ & \text{N} \\ & \text{CH}_2 \\ & \text{N} \end{array}$$

RN 317846-56-3 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-1-methylpropyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 317846-57-4 CAPLUS
CN 1H-Benzimidazol-2-amine, N-{1-(2-aminobutyl)-4-piperidinyl}-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 317846-61-0 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminohexyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 317846-62-1 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-5-methylhexyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{NH}_2 \\ \text{CH}_2 - \text{CH} - \text{CH}_2 - \text{CH}_2 - \text{CH}_{2} - \text{CHMe}_2 \\ \\ \text{N} - \text{CH}_2 \\ \end{array}$$

RN 317846-63-2 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminopentyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

4/11/2003

Habte

- L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)
- RN 317846-64-3 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminodecyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

- RN 317846-65-4 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-4-phenylbutyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)
- NH2 | CH2-CH-CH2-CH2-Ph
- RN 317846-66-5 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-4,4-dimethylpentyl)-4-piperidinyl]1-(2-pyridinylmethyl)-, tetrahydrochloride (9CI) (CA INDEX NAME)

●4 HCl

- RN 317846-67-6 CAPLUS CN 1H-Benzimidazol-2-amine, N-[1-[(2R,3R)-2-amino-3-methylpentyl]-4-
- LS ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued) piperidinyl]-1-(2-pyridinylmethyl)-, pentahydrochloride (9CI) (CA INDEX

●5 HC1

RN 317846-71-2 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-1-methylethyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 317846-72-3 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-3-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 317846-73-4 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(3-aminopropyl)-4-piperidinyl]-1-(2-pyridinylmethyl)-, tetrahydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued) piperidinyl]-1-(2-pyridinylmethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 317846-68-7 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[(2R,3S)-2-amino-3-methylpentyl]-4piperidinyl]-1-(2-pyridinylmethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 317846-69-8 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[2-amino-4-(4-methoxyphenyl)butyl]-4piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 317846-70-1 CAPLUS CN 1H-Benzimidazol-2-amine, N-[1-[2-amino-2-(4-piperidinyl)ethyl]-4-

- L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

●4 HCl

RN 317846-74-5 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-[2-(dimethylamino)ethyl]-4-piperidinyl]-1-(2-pyridinylmethyl)-, tetrahydrochloride (9CI) (CA INDEX NAME)

●4 HC1

RN 317846-75-6 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminopropyl)-4-piperidinyl]-1-[(5-methylpyrazinyl)methyl]- (9CI) (CA INDEX NAME)

RN 317846-76-7 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminopropyl)-4-piperidinyl]-1-[(6-methylpyrazinyl)methyl]- (9CI) (CA INDEX NAME)

RN 317846-77-8 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminopropyl)-4-piperidinyl]-1[(trimethylpyrazinyl)methyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

●4 HCl

RN 317846-78-9 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1[(trimethylpyrazinyl)methyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

●3 HCl

RN 317846-81-4 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[1-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 317846-82-5 CAPLUS
CN 1H-Benzimidazol-2-amine, N-{1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(5-methylpyrazinyl)methyl]- (9CI) (CA INDEX NAME)

RN 317846-83-6 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

Habte

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 317846-79-0 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminopropyl)-4-piperidinyl]-1-[1-(2-pyridinyl)ethyl]-, trihydrochloride (9CI) (CA INDEX NAME)

●3 HCl

RN 317846-80-3 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(6-methylpyrazinyl)methyl]-, trihydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 317846-84-7 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1[(3,4-dimethoxy-2-pyridinyl)methyl]-, tetrahydrochloride (9CI) (CA INDEX

●4 HCl

RN 317846-85-8 CAPLUS
CN Benzenesulfonamide, N-[2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-lH-benzimidazol-1-yl]methyl]-6-chloro-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 317846-87-0 CAPLUS 4/11/2003

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(5,6-dimethoxy-2-pyridinyl)methyl]-, ethanedioate (2:7) (9CI) (CA INDEX NAME)

CM 1

CRN 317846-86-9 CMF C25 H36 N6 O2

CM 2

CRN 144-62-7 CMF C2 H2 O4

317846-89-2 CAPLUS

1H-Azepine-1-ethanamine, hexahydro-4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]methyl]-, ethanedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 317846-88-1 CMF C22 H29 N5

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 317846-93-8 CAPLUS 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-methyl-3furanyl) methyl] - (9CI) (CA INDEX NAME)

RN 317846-94-9 CAPLUS 1,4-Cyclohexanediamine, N-(2-aminoethyl)-N'-[1-(2-pyridinylmethyl)-1Hbenzimidazol-2-yl]-, tetrahydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

●4 HCl

317846-96-1 CAPLUS 1-Piperidinecarboxylic acid, 4-[[1-[(3-amino-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS

CM 2

CRN 144-62-7 CMF C2 H2 O4

317846-91-6 CAPLUS 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)hexahydro-1H-azepin-4-yl]-1-(2-pyridinylmethyl)-, ethanedioate (2:7) (9CI) (CA INDEX NAME)

(Continued)

CRN 317846-90-5 CMF C21 H28 N6

CM 2

CRN 144-62-7 CMF C2 H2 O4

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317846-92-7 CAPLUS

1H-Benzimidazol-2-amine, N-[1-[2-(methylamino)ethyl]-4-piperidinyl]-1-(2-pyridinylmethyl)-, tetrahydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

317846-97-2 CAPLUS 1H-Benzimidazol-2-amine, N-[4-(2-amino-3-methylbutyl)-1-piperazinyl]-1-(2pyridinylmethyl) - (9CI) (CA INDEX NAME)

317846-98-3 CAPLUS 1H-Benzimidazol-2-amine, N-[4-(2-aminoethyl)-1-piperazinyl}-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

317846-99-4 CAPLUS 3-Pyridinol, 2-[[2-[[1-(2-amino-3-methylbutyl)-4-piperidinyl]amino]-7methyl-lH-benzimidazol-l-yl]methyl]-6-methyl-, tetrahydrochloride, monohydrate (9CI) (CA INDEX NAME)

●4 HC1

● н20

RN 317847-00-0 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-1H-benzimidazol1-yl]methyl]- (9CI) (CA INDEX NAME)

RN 317847-01-1 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-6
chloro-1-[(1,4-dimethyl-1H-imidazol-5-yl)methyl]-, monohydrate (9CI) (CA

INDEX NAME)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

● H₂O

RN 317847-02-2 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-6-chloro-1-[(6-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

RN 317847-03-3 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-6-chloro-1-[[3-(2-ethoxyethoxy)-6-methyl-2-pyridinyl]methyl]-, tetrahydrochloride, dihydrate (9CI) (CA INDEX NAME)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

●4 HCl

●2 H₂O

RN 317847-04-4 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-6-chloro-1-[(2-chloro-1,4-dimethyl-1H-imidazol-5-yl)methyl]- (9CI) (CA

RN 317847-05-5 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-6-methyl-1-[(6-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 317847-06-6 CAPLUS

1H-Benzimidazol-2-amine, N-[1-(2-aminopropyl)-4-piperidinyl]-1[(trimethylpyrazinyl)methyl]-, tetrahydrochloride, trihydrate (9CI) (CA INDEX NAME)

●4 HC1

RN 317847-07-7 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[[3-(2-chloroethoxy)-6-methyl-2-pyridinyl]methyl]-, trihydrochloride, dihydrate
(9CI) (CA INDEX NAME)

●3 HC1

●2 H₂O

RN 317847-08-8 CAPLUS

1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(3-amino-2-pyridinyl)methyl]-, tetrahydrochloride, trihydrate (9CI) (CA

●4 HC1

●3 H₂O

RN 317847-11-3 CAPLUS
CN 3-Pyridinol, 2-[[2-[(1-(2-aminoethyl)-4-piperidinyl]amino]-6-chloro-4methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-, tetrahydrochloride, compd.

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

●4 HCl

●3 H₂O

RN 317847-14-6 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-7-methyl-1Hbenzimidazol-1-yl]methyl]-6-methyl-, tetrahydrochloride, dihydrate (9CI)
(CA INDEX NAME)

•4 HCl

●2 H₂O

RN 317847-15-7 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-6-bromo-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-, tetrahydrochloride (9CI)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued) with 2-propanol (1:1) (9CI) (CA INDEX NAME)

CM :

CRN 317847-10-2 CMF C22 H29 C1 N6 O

CM 2

CRN 67-63-0 CMF C3 H8 O

OH | |-| H₃C - CH - CH₃

RN 317847-12-4 CAPLUS
CN 3-Pyridinol, 2-[{2-[[1-(2-amino-3-methylbuty1)-4-piperidiny1]amino]-4-methyl-1H-benzimidazol-1-yl}methyl]-6-methyl- (9CI) (CA INDEX NAME)

RN 317847-13-5 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-aminopropyl)-4-piperidinyl]amino]-4-methyl-1Hbenzimidazol-1-yl]methyl]-6-methyl-, tetrahydrochloride, trihydrate (9CI)
(CA INDEX NAME)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

●4 HC1

RN 317847-16-8 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-1H-benzimidazol1-yl]methyl]-6-methyl-, tetrahydrochloride, monohydrate (9CI) (CA INDEX

●4 HC

● н20

RN 317847-17-9 CAPLUS

1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-4-methyl-1-[(6-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

RN 317847-18-0 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-5-chloro-7-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-, tetrahydrochloride, tetrahydrate (9CI) (CA INDEX NAME)

●4 HCl

●4 H₂O

RN 317847-19-1 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-5-chloro-1[(2,4-dimethyl-5-oxazolyl)methyl]-7-methyl- (9CI) (CA INDEX NAME)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

●3 HCl

● н20

RN 317847-22-6 CAPLUS
1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-methyl-5-oxazolyl)methyl]-, monohydrate (9CI) (CA INDEX NAME)

● H₂0

RN 317847-23-7 CAPLUS

1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-methyl-5-oxazolyl)methyl]-, trihydrochloride, monohydrate (9CI) (CA INDEX NAME)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

N 317847-20-4 CAPLUS
N 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-5-chloro-1[(2,5-dimethyl-4-oxazolyl)methyl]-7-methyl-, dihydrochloride, monohydrate
(9CI) (CA INDEX NAME)

● н20

●2 HC1

RN 317847-21-5 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-5-chloro-7methyl-1-[(5-methyl-3-isoxazolyl)methyl]-, trihydrochloride, monohydrate
(9C1) (CA INDEX NAME)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

●3 HCl

● н20

RN 317847-26-0 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(4-thiazolylmethyl)- (9CI) (CA INDEX NAME)

RN 317847-27-1 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(5-phenyl-1,2,4-oxadiazol-3-yl)methyl]-, trihydrochloride (9CI) (CA INDEX NAME)

●3 HCl

RN 317847-28-2 CAPLUS
CN 2-Oxazolemethanol, 5-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]-, tetrahydrochloride, dihydrate (9CI) (CA INDEX

●4 HC1

●2 H₂O

RN 317847-29-3 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(3-methyl-5-isoxazolyl)methyl]-, trihydrochloride, monohydrate (9CI) (CA INDEX NAME)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

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RN 317847-32-8 CAPLUS
CN 4-Oxazolecarboxylic acid, 5-[[2-[[1-[2-[[(1,1-dimethylethoxy)carbonyl]amino]ethyl]-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 317847-33-9 CAPLUS
CN 1-Piperidineethanamine, 4-[{1-[(2-methyl-4-thiazolyl)methyl]-1H-benzimidazol-2-yl]methyl]- (9CI) (CA INDEX NAME)

RN 317847-35-1 CAPLUS
CN l-Piperidinecarboxylic acid, 4-[[1-[[3-[2-(dimethylamino)ethoxy]-6-methyl-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

●3 HCl

● H2O

RN 317847-31-7 CAPLUS

2-Propanol, compd. with 4-[[1-[[2-(dimethylamino)-4-thiazolyl]methyl]-lH-benzimidazol-2-yl]methyl]-1-piperidineethanamine tetrahydrochloride (1:1), monohydrate (9CI) (CA INDEX NAME)

CM 1

CRN 317847-30-6 CMF C21 H30 N6 S

CH 2

CRN 67-63-0 CMF C3 H8 O

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 317847-36-2 CAPLUS
CN 4-Piperidinamine, N-[1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2yl]-1-(3-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

RN 317847-85-1 CAPLUS
CN lH-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-methyl-5-oxazolyl)methyl]-, trihydrochloride (9CI) (CA INDEX NAME)

●3 HC1

RN 317847-94-2 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-methyl-5-oxazolyl)methyl]- (9CI) (CA INDEX NAME)

Habte

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS

IT 317847-86-2 317847-87-3 317847-88-4

317847-89-5 317847-90-8 317847-91-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES 317847-92-0 317847-93-1

(prepn. of benzimidazoles as respiratory syncytial virus replication inhibitors)

317847-86-2 CAPLUS

3-Pyridinol, 2-[[2-[[1-(2-aminopropyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-, tetrahydrochloride (9CI) (CA INDEX

●4 HCl

RN 317847-87-3 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-amino-3-methylbutyl)-4-piperidinyl]amino]-7-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-, tetrahydrochloride (9CI) (CA INDEX NAME)

ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS

1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[1-(6-methyl-CN 2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

317847-91-9 CAPLUS 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-4-chloro-1-[(6methyl-2-pyridinyl) methyl) -, tetrahydrochloride (9CI) (CA INDEX NAME)

●4 HCl

317847-92-0 CAPLUS

1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-5,6-dimethyl-1-[(6-methyl-2-pyridinyl)methyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS

●4 HCl

317847-88-4 CAPLUS

1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-6-chloro-1-[(1,4-dimethyl-1H-imidazol-5-yl)methyl]- (9CI) (CA INDEX NAME)

1H-Pyrrole-2-carboxylic acid, 5-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-3-bromo-1-methyl-RN 317847-89-5 CAPLUS , ethyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

●4 HCl

1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(6-methyl-2pyridinyl)methyl]-6-nitro-, tetrahydrochloride (9CI) (CA INDEX NAME)

●4 HC1

IT 90519-14-5 317847-66-8 317847-67-9 317847-68-0 317847-69-1 317847-71-5 317847-73-7 317847-74-8 317847-75-9 317847-76-0 317847-77-1 317847-78-2

317847-79-3 317847-80-6 317847-83-9 RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. of benzimidazoles as respiratory syncytial virus replication inhibitors)

RN 90519-14-5 CAPLUS 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(2pyridinylmethyl) - (9CI) (CA INDEX NAME)

Habte

RN 317847-66-8 CAPLUS
CN 1-Piperidineacetic acid, .alpha.-ethyl-4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 317847-67-9 CAPLUS
CN 1,4-Dioxa-8-azaspiro[4.5]decane, 8-[[1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]methyl]- (9CI) (CA INDEX NAME)

RN 317847-68-0 CAPLUS CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-[1-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 317847-69-1 CAPLUS
CN 1-Piperidineethanol, 4-[[1-(2-pyridinylmethyl)-lH-benzimidazol-2-yl]amino](9CI) (CA INDEX NAME)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 317847-75-9 CAPLUS
CN Carbamic acid, [2-[4-[{1-[(1,5-dimethyl-lH-pyrrol-2-yl)methyl]-4-methyl-lH-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 317847-76-0 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[2-[4-[[4-methyl-1-[3-(2-pyridinyl)propyl]1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

Habte

RN 317847-77-1 CAPLUS
CN 2-Butanone, 3-methyl-1-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 317847-71-5 CAPLUS
CN 2-Pyridinecarboxylic acid, 6-[[2-(4-piperidinylamino)-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

RN 317847-73-7 CAPLUS
CN Carbamic acid, [2-[4-[[1-[(6-methyl-2-pyridinyl)methyl]-6-nitro-lH-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester
(9CI) (CA INDEX NAME)

RN 317847-74-8 CAPLUS
CN 1-Piperidinepropanoic acid, .alpha.-[[(1,1-dimethylethoxy)carbonyl]amino]4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-, methyl ester (9CI)
(CA INDEX NAME)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 317847-78-2 CAPLUS
CN 2-Butanone, 1-[4-[[6-chloro-1-[(2-chloro-1,4-dimethyl-1H-imidazol-5-yl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]-3-methyl- (9CI) (CA INDEX NAME)

RN 317847-79-3 CAPLUS
CN 2-Butanone, l-[4-[[1-[(2-chloro-1,4-dimethyl-1H-imidazol-5-yl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]-3-methyl- (9CI) (CA INDEX NAME)

RN 317847-80-6 CAPLUS
CN Carbamic acid, [2-[4-[[1-[[6-methyl-3-[2-[(tetrahydro-2H-pyran-2-yl)oxy]ethoxy]-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 317847-83-9 CAPLUS
CN 1-Piperidinebutanenitrile, 4-[[1-(2-pyridinylmethyl)-lH-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)

IT 317847-37-3P 317847-38-4P 317847-39-5P 317847-40-8P 317847-48-6P 317847-49-7P 317847-50-0P 317847-51-1P 317847-52-2P 317847-53-3P 317847-54-4P 317847-55-5P 317847-56-6P 317847-57-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. of benzimidazoles as respiratory syncytial virus replication

inhibitors)
317847-37-3 CAPLUS

RN 317847-37-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued) (phenylmethyl)-4-piperidinyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 317847-48-6 CAPLUS
CN 1H-Benzimidazol-2-amine, N-1,4-dioxaspiro[4.5]dec-8-yl-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 317847-49-7 CAPLUS
CN Cyclohexanone, 4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino](9CI) (CA INDEX NAME)

RN 317847-50-0 CAPLUS
CN 1-Piperidineethanol, .beta.-ethyl-4-[[1-(2-pyridinylmethyl)-lH-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)

Habte

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 317847-38-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[1-[[6-methyl-3-[2-[(tetrahydro-2H-pyran-2-yl)oxy]ethoxy]-2-pyridinyl]methyl]-lH-benzimidazol-2-yl]amino]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 317847-39-5 CAPLUS
CN 1H-Azepine-1-carboxylic acid, hexahydro-4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 317847-40-8 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 1-{(6-methyl-2-pyridinyl)methyl}-2-{[1-

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued) RN 317847-51-1 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)

RN 317847-52-2 CAPLUS
CN 4-Piperidinone, 1-[[1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2yl]methyl]- (9CI) (CA INDEX NAME)

RN 317847-53-3 CAPLUS

CN 1,2-Ethanediamine, N'-[1-[[1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]methyl]-4-piperidinyl]-N,N-bis(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 317847-54-4 CAPLUS
CN 1-Piperidineacetonitrile, .alpha.-methyl-4-[[1-[1-(2-pyridinyl)ethyl]-lH-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS

317847-55-5 CAPLUS 2-Butanone, 1-[4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-lHbenzimidazol-2-yl]amino]-1-piperidinyl)-3-methyl- (9CI) (CA INDEX NAME)

1H-Benzimidazol-2-amine, 4-methyl-1-[(6-methyl-2-pyridinyl)methyl]-N-[1-(oxiranylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 317847-57-7 CAPLUS Ethanol, 2-[(phenylmethyl)[2-[4-[[1-(2-pyridinylmethyl)-lH-benzimidazol-2yl]amino]-1-piperidinyl]ethyl]amino]- (9CI) (CA INDEX NAME)

L5 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2003 ACS 2000:259972 CAPLUS ACCESSION NUMBER: 132:293042

DOCUMENT NUMBER:

Encapsulation of sensitive liquid components into a TITLE: matrix to obtain discrete shelf-stable particles Van Lengerich, Bernhard H. INVENTOR(S):

General Mills, Inc., USA PATENT ASSIGNEE (S): PCT Int. Appl., 56 pp. SOURCE: CODEN: PIXXD2

Patent DOCUMENT TYPE: English LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. DATE KIND DATE PATENT NO. A1 20000420 WO 1999-US20905 19991006 WO 2000021504 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, U2, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, S2, T2, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG CA 1999-2345815 19991006 AA 20000420 CA 2345815 AU 1999-63872 19991006 A1 20000501 AU 9963872 EP 1999-951433 19991006 A1 20010801 EP 1119345 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO JP 2000-575480 19991006 JP 2002527375 T2 20020827 NO 2000004784 A 20000925 20000925 NO 2000-4784 US 1998-103700P P 19981009 PRIORITY APPLN. INFO.: US 1998-109696P P 19981124 US 1999-233443 A 19990120 US 1998-79060P P 19980323 WO 1999-US4267 W 19990323

WO 1999-US20905 W 19991006 AB A liq. encapsulant component which contains an active, sensitive encapsulant, such as a live microorganism or an enzyme dissolved or dispersed in a liq. plasticizer is admixed with a plasticizable matrix material. The matrix material is plasticizable by the liq. plasticizer and the encapsulation of the active encapsulant is accomplished at a low temp. and under low shear conditions. The active component is encapsulated and/or embedded in the plasticizable matrix component or material in a continuous process to produce discrete, solid particles. The liq. content of the liq. encapsulant component provides substantially all or completely all of the liq. plasticizer needed to plasticize the matrix component to obtain a formable, extrudable, cuttable, mixt. or dough. Removal of liq. plasticizer prior to extrusion is not needed to adjust the viscosity of the mixt. for formability. Release of an active component from the matrix may be delayed or controlled over time so that the active component is delivered when and where it is needed to perform its intended function. Controlled release, discrete, solid particles which contain an encapsulated and/or embedded component such as a heat sensitive or readily oxidizable pharmaceutically, biol., or nutritionally active component are continuously produced without substantial destruction of the matrix material or encapsulant.

IT 68844-77-9, Astemizole Habte

L5 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)

REFERENCE COUNT:

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 14 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued) RL: FFD (Food or feed use); BIOL (Biological study); USES (Uses) (encapsulation of sensitive liq. components into matrix to obtain discrete shelf-stable particles)

68844-77-9 CAPLUS

1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1-[2-(4methoxyphenyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT FAMILY ACC. NUM. COUNT:

PRIORITY APPLN. INFO.:

L5 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2003 ACS 1998:293427 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 129:8597 Embedding and encapsulation of controlled release TITLE: particles Van Lengerich, Bernhard H. INVENTOR (5): Van Lengerich, Bernhard H., USA PATENT ASSIGNEE(S): PCT Int. Appl., 63 pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent English LANGUAGE:

PATENT INFORMATION: APPLICATION NO. DATE KIND DATE PATENT NO. _____ ----WO 1997-US18984 19971027 A1 19980507 WO 9818610 W: AU, CA, JP, NO, PL, US RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE AU 1997-49915 19971027 AU 9749915 A1 19980522 B2 20020214 AU 744156 EP 1997-912825 19971027 A1 19990818 EP 935523 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI JP 1998-520558 19971027 T2 20020416 JP 2002511777 19990428 NO 1999-2036 19990428 NO 9902036

US 1996-29038P P 19961028

US 1997-52717P

P 19970716

WO 1997-US18984 W 19971027 AB Controlled release, discrete, solid particles which contain an encapsulated and/or embedded component such as a heat sensitive or readily oxidizable pharmaceutically, biol., or nutritionally active component are continuously produced without substantial destruction of the matrix material or encapsulant. A release-rate controlling component is incorporated into the matrix to control the rate of release of the encapsulant from the particles. The addnl. component may be a hydrophobic component or a high water binding capacity component for extending the release time. The plasticizable matrix material, such as starch, is admixed with at least one plasticizer, such as water, and at least one release-rate controlling component under low shear mixing conditions to plasticize the plasticizable material without substantially destroying the at least one plasticizable material and to obtain a substantially homogeneous plasticized mass. The plasticizer content is substantially reduced and the temp. of the plasticized mass is substantially reduced prior to admixing the plasticized mass with the encapsulant to avoid substantial destruction of the encapsulant and to obtain a formable, extrudable mixt. The mixt. is extruded though a die without substantial or essentially no expansion and cut into discrete, relatively dense particles. Release properties may also be controlled by precoating the encapsulant and/or coating the extruded particles with a film-forming component. An example of encapsulation of acetylcysteine is given using starch, polyethylene, glycerol monostearate, and vegetable oil.

68844-77-9, Astemizole
RL: PEP (Physical, engineering or chemical process): THU (Therapeutic use): BIOL (Biological study): PROC (Process): USES (Uses)
(embedding and encapsulation of controlled release particles)

L5 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2003 ACS (Continued)
RN 68844-77-9 CAPLUS

CN 1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/888,840 Page 35

=> log y COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	71.01	219.77
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-9.77	-9.77

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